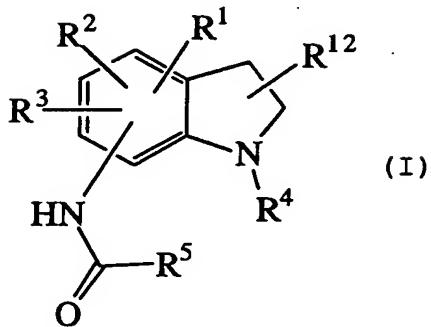


Claims

1. A novel indoline compound represented by the formula (I)



wherein

5 R¹ and R³

are the same or different and each is hydrogen atom, lower alkyl group or lower alkoxy group,

10 R² is -NO₂, -NHSO₂R⁶ [R⁶ is alkyl group, aryl group or -NHR⁷ (R⁷ is hydrogen atom, -COR¹³ (R¹³ is hydrogen atom or lower alkyl group) or lower alkoxy carbonyl group)], -NHCONH₂ or lower alkyl group substituted by -NHSO₂R⁶ [R⁶ is alkyl group, aryl group or -NHR⁷ (R⁷ is hydrogen atom, -COR¹³ (R¹³ is hydrogen atom or lower alkyl group) or lower alkoxy carbonyl group)],

15 R⁴ is hydrogen atom, alkyl group optionally substituted by hydroxy group, -COR¹³ (R¹³ is hydrogen atom or lower alkyl group), lower alkenyl group, lower alkoxy lower alkyl group, lower alkylthio lower alkyl group, cycloalkyl group or cycloalkylalkyl group,

20 R⁵ is alkyl group, cycloalkyl group or aryl group,

R¹² is hydrogen atom, lower alkyl group, lower alkoxy lower alkyl group or lower alkylthio lower alkyl group,

or a pharmaceutically acceptable salt thereof.

25 2. The novel indoline compound of claim 1, wherein, in the formula (I), R¹ and R³ are the same or different and each is hydrogen atom, lower alkyl group or lower alkoxy group, R² is -NO₂, -NHSO₂R⁶ [R⁶ is alkyl group, aryl group or -NHR⁷ (R⁷ is

hydrogen atom, $-\text{COR}^{13}$ (R^{13} is hydrogen atom or lower alkyl group or lower alkoxy carbonyl group), $-\text{NHCONH}_2$ or lower alkyl group substituted by $-\text{NHSO}_2\text{R}^6$ [R^6 is alkyl group, aryl group or $-\text{NHR}^7$ (R^7 is hydrogen atom, $-\text{COR}^{13}$ (R^{13} is hydrogen atom or lower alkyl group) or lower alkoxy carbonyl group)], R^4 is hydrogen atom, alkyl group, cycloalkyl group or cycloalkylalkyl group, R^5 is alkyl group, cycloalkyl group or aryl group, and R^{12} is hydrogen atom, or a pharmaceutically acceptable salt thereof.

10 3. The novel indoline compound of claim 1, wherein, in the formula (I), R^2 is $-\text{NHSO}_2\text{R}^6$ [R^6 is alkyl group or $-\text{NHR}^7$ (R^7 is hydrogen atom)], R^4 is alkyl group optionally substituted by hydroxy group, $-\text{COR}^{13}$ (R^{13} is hydrogen atom or lower alkyl group), lower alkenyl group, lower alkoxy lower alkyl group or lower alkylthio lower alkyl group, R^5 is alkyl group, R^{12} is hydrogen atom, lower alkyl group, lower alkoxy lower alkyl group or lower alkylthio lower alkyl group, or a pharmaceutically acceptable salt thereof.

15 4. The novel indoline compound of claim 2, wherein, in the formula (I), R^2 is $-\text{NHSO}_2\text{R}^6$ [R^6 is alkyl group or $-\text{NHR}^7$ (R^7 is hydrogen atom)] or $-\text{NHCONH}_2$, or a pharmaceutically acceptable salt thereof.

20 5. The novel indoline compound of claim 2, wherein, in the formula (I), R^2 or $-\text{NHCOR}^5$ is bonded to the 5-position of indoline, and the other is bonded to the 7-position of indoline, or a pharmaceutically acceptable salt thereof.

25 6. The novel indoline compound of claim 3, wherein, in the formula (I), R^2 is bonded to the 5-position of indoline, and $-\text{NHCOR}^5$ is bonded to the 7-position of indoline, or a pharmaceutically acceptable salt thereof.

7. The novel indoline compound of claim 4, wherein, in the formula (I), R^2 is bonded to the 5-position of indoline, and - $NHCOR^5$ is bonded to the 7-position of indoline, or a pharmaceutically acceptable salt thereof.

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8. The novel indoline compound of claim 6, wherein, in the formula (I), R^4 is lower alkoxy lower alkyl group or lower alkylthio lower alkyl group, and R^{12} is hydrogen atom or lower alkyl group, or a pharmaceutically acceptable salt thereof.

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9. The novel indoline compound of claim 8, wherein, in the formula (I), R^1 and R^3 are lower alkyl groups, or a pharmaceutically acceptable salt thereof.

15 10. The novel indoline compound of claim 6, wherein, in the formula (I), R^{12} is bonded to the 2-position of indoline, or a pharmaceutically acceptable salt thereof.

11. The novel indoline compound of claim 10, wherein, in the
20 formula (I), R^4 is alkyl group, R^{12} is lower alkoxy lower alkyl group or lower alkylthio lower alkyl group, or a pharmaceutically acceptable salt thereof.

12. The novel indoline compound of claim 11, wherein, in the
25 formula (I), R^1 and R^3 are lower alkyl groups, or a pharmaceutically acceptable salt thereof.

13. The novel indoline compound of claim 7, wherein, in the formula (I), R^1 and R^3 are lower alkyl groups, and R^5 is alkyl
30 group, or a pharmaceutically acceptable salt thereof.

14. The novel indoline compound of claim 13, wherein, in the formula (I), R^2 is $-NHSO_2R^6$ (R^6 is alkyl group), or a pharmaceutically acceptable salt thereof.

15. The novel indoline compound of claim 13, wherein, in the formula (I), R² is -NHSO₂R⁶ [R⁶ is -NHR⁷ (R⁷ is hydrogen atom)], or a pharmaceutically acceptable salt thereof.

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16. The novel indoline compound of claim 13, wherein, in the formula (I), R² is -NHCONH₂, or a pharmaceutically acceptable salt thereof.

¹⁰ 17. The novel indoline compound of claim 2, wherein the compound of the formula (I) is any of the following (1)-(5), or a pharmaceutically acceptable salt thereof:

(1) N-(5-methanesulfonylamino-4,6-dimethyl-1-propylindolin-7-yl)-2,2-dimethylpropanamide,

¹⁵ (2) N-[5-methanesulfonylamino-4,6-dimethyl-1-(2-methylpropyl)indolin-7-yl]-2,2-dimethylpropanamide,

(3) N-(1-butyl-5-methanesulfonylamino-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide,

(4) N-[5-methanesulfonylamino-4,6-dimethyl-1-(3-

²⁰ methylbutyl)indolin-7-yl]-2,2-dimethylpropanamide,

(5) N-(5-methanesulfonylamino-4,6-dimethyl-1-pentylindolin-7-yl)-2,2-dimethylpropanamide.

²⁵ 18. The novel indoline compound of claim 2, wherein the compound of the formula (I) is the following (1) or (2), or a pharmaceutically acceptable salt thereof:

(1) N-(5-methanesulfonylamino-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide,

(2) N-(1-hexyl-5-methanesulfonylamino-4,6-dimethylindolin-7-yl)-

³⁰ 2,2-dimethylpropanamide.

19. The novel indoline compound of claim 2, wherein the compound of the formula (I) is the following (1) or (2), or a pharmaceutically acceptable salt thereof:

(1) N-(1-ethyl-5-methanesulfonylamino-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide,
(2) N-(5-methanesulfonylamino-1,4,6-trimethylindolin-7-yl)-2,2-dimethylpropanamide.

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20. The novel indoline compound of claim 2, wherein the compound of the formula (I) is any of the following (1)-(6), or a pharmaceutically acceptable salt thereof:

(1) N-(4,6-dimethyl-1-octyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
10 (2) N-(4,6-dimethyl-1-propyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
(3) N-(4,6-dimethyl-1-pentyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
15 (4) N-[4,6-dimethyl-1-(2-methylpropyl)-5-sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide,
(5) N-(1-butyl-4,6-dimethyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
(6) N-[4,6-dimethyl-1-(3-methylbutyl)-5-sulfamoylaminoindolin-7-
20 yl]-2,2-dimethylpropanamide.

21. The novel indoline compound of claim 2, wherein the compound of the formula (I) is any of the following (1)-(7), or a pharmaceutically acceptable salt thereof:

25 (1) N-(7-methanesulfonylamino-1,4,6-trimethylindolin-5-yl)-2,2-dimethylundecanamide,
(2) N-(7-methanesulfonylamino-4,6-dimethylindolin-5-yl)-2,2-dimethylundecanamide,
(3) N-[7-(2-propanesulfonylamino)-4,6-dimethylindolin-5-yl]-2,2-
30 dimethylundecanamide,
(4) N-[7-(2-propanesulfonylamino)-4,6-dimethylindolin-5-yl]-2,2-dimethyloctanamide,
(5) N-[4,6-dimethyl-7-(p-toluene)sulfonylaminoindolin-5-yl]-2,2-dimethylundecanamide,

(6) N-(4,6-dimethyl-7-sulfamoylaminooindolin-5-yl)-2,2-dimethylundecanamide,
(7) N-(4,6-dimethyl-7-ureidoindolin-5-yl)-2,2-dimethylundecanamide.

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22. The novel indoline compound of claim 2, wherein the compound of the formula (I) is any of the following (1)-(5), or a pharmaceutically acceptable salt thereof:

(1) N-(4,6-dimethyl-5-nitro-1-octylindolin-7-yl)-2,2-dimethylpropanamide,
(2) N-(5-methanesulfonylaminomethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide,
(3) N-(4,6-dimethyl-1-octyl-5-ureidoindolin-7-yl)-2,2-dimethylpropanamide,
(4) N-[5-(N-acetylsulfamoylamo)-4,6-dimethyl-1-octylindolin-7-yl]-2,2-dimethylpropanamide,
(5) N-[5-(N-methoxycarbonylsulfamoylamo)-4,6-dimethyl-1-octylindolin-7-yl]-2,2-dimethylpropanamide.

23. The novel indoline compound of claim 9 or 12, wherein, in the formula (I), R² is -NHSO₂R⁶ (R⁶ is alkyl group), or a pharmaceutically acceptable salt thereof.

24. The novel indoline compound of claim 9 or 12, wherein, in the formula (I), R² is -NHSO₂R⁶ [R⁶ is -NHR⁷ (R⁷ is hydrogen atom)], or a pharmaceutically acceptable salt thereof.

25. The novel indoline compound of claim 2, wherein the compound of the formula (I) is any of the following (1)-(6), or a pharmaceutically acceptable salt thereof:

(1) N-(1-isopropyl-5-methanesulfonylaminoo-4,6-dimethylindoline-7-yl)-2,2-dimethylpropanamide,
(2) N-[1-(2,2-dimethylpropyl)-5-methanesulfonylaminoo-4,6-dimethylindolin-7-yl]-2,2-dimethylpropanamide,

(3) N-(1-cyclobutylmethyl-5-methanesulfonylamino-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide,

(4) N-(1-cyclopentyl-5-methanesulfonylamino-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide,

5 (5) N-(1-cyclopentyl-4,6-dimethyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,

(6) N-(1-cyclopropylmethyl-5-methanesulfonylamino-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide.

10 26. The novel indoline compound of claim 3, wherein the compound of the formula (I) is N-[5-methanesulfonylamino-4,6-dimethyl-1-(3-methyl-2-butenyl)indolin-7-yl]-2,2-dimethylpropanamide, or a pharmaceutically acceptable salt thereof.

15 27. The novel indoline compound of claim 3, wherein the compound of the formula (I) any of the following (1)-(6), or a pharmaceutically acceptable salt thereof:

(1) N-[1-(2-ethoxyethyl)-4,6-dimethyl-5-sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide,

20 (2) N-[1-(2-ethoxyethyl)-2,4,6-trimethyl-5-sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide,

(3) N-[1-(2-methoxyethyl)-4,6-dimethyl-5-sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide,

(4) N-[1-(2-methoxyethyl)-2,4,6-trimethyl-5-

25 sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide,

(5) N-[1-(2-ethylthioethyl)-4,6-dimethyl-5-sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide hydrochloride,

(6) N-[4,6-dimethyl-1-(2-methylthioethyl)-5-

30 sulfamoylaminoindolin-7-yl]-2,2-dimethylpropanamide hydrochloride.

28. The novel indoline compound of claim 3, wherein the compound of the formula (I) is any of the following (1)-(4), or a

pharmaceutically acceptable salt thereof:

- (1) N-(2-methoxymethyl-4,6-dimethyl-1-propyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
- (2) N-(2-ethoxymethyl-4,6-dimethyl-1-propyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
- (3) N-(2-methylthiomethyl-4,6-dimethyl-1-propyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide,
- (4) N-(2-ethylthiomethyl-4,6-dimethyl-1-propyl-5-sulfamoylaminoindolin-7-yl)-2,2-dimethylpropanamide.

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29. The novel indoline compound of claim 3, wherein the compound of the formula (I) is the following (1) or (2), or a pharmaceutically acceptable salt thereof:

- (1) N-[1-(2-ethoxyethyl)-5-methanesulfonylamino-4,6-dimethylindolin-7-yl]-2,2-dimethylpropanamide,
- (2) N-[1-(2-methoxyethyl)-5-methanesulfonylamino-4,6-dimethylindolin-7-yl]-2,2-dimethylpropanamide.

30. A pharmaceutical composition comprising a novel indoline compound of any of claims 1-29, or a pharmaceutically acceptable salt thereof.

31. An acyl-coenzyme A: cholesterol acyl transferase inhibitor comprising a novel indoline compound of any of claims 1-29, or a pharmaceutically acceptable salt thereof.

32. A lipoperoxidation inhibitor comprising a novel indoline compound of any of claims 1-29, or a pharmaceutically acceptable salt thereof.

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